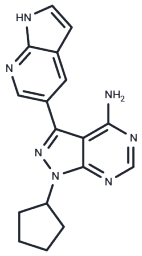
Data Sheet (Cat.No.T2415)

TargetMol

PP121

Chemical Properties



CAS No. : 1092788-83-4

Formula: C17H17N7

Molecular Weight: 319.36

Storage: Keep away from moisture,Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PP-121 is a multi-targeted inhibitor of PDGFR (IC50: 2 nM), Hck (IC50: 8 nM), mTOR (IC50: 10 nM), VEGFR2(IC50: 12 nM), Src (IC50: 14 nM) and Abl (IC50: 18 nM) , also inhibits DNA-PK (IC50: 60 nM).
Targets(IC50)	Apoptosis,Bcr-Abl,Hck,mTOR,PDGFR,Src,VEGFR
In vivo	In glioma cell lines (U87 and LN229), PP-121 (0.04-10 μM) dose-dependently inhibited Akt, p70S6K and S6 phosphorylation, and PP-121 (0.04-10 μM) inhibited the proliferation of a range of tumor cells by directly inhibiting PI3Ks and mTOR. PP-121 induced cell arrest at G0/G1 phase in LN220, U87 and Seg1 cells. PP-121 (0.08-20 μM) inhibited v-Src-induced tyrosine phosphorylation in NIH3T3 cells transformed with v-Src (Thr338). Acting on NIH3T3 cells transformed with v-Src(Thr338), PP-121 (2.5 μM) restored the staining of actin fibers. PP-121 (40 nM) inhibited Ret autophosphorylation when applied to TT thyroid cancer cells expressing Ret mutation 35 of the C634W oncogene.PP-121 inhibited the proliferation of TT thyroid cancer cells (IC50: 50 nM). When acting on human umbilical vein endothelial cells, PP-121 inhibited VEGF-stimulated cell proliferation (IC50: 41 nM).
Kinase Assay	Kinase assays: Purified kinase domains are incubated with PP-121 at 2- or 4-fold dilutions over a concentration range of 1 nM-50 μM or with vehicle (0.1% DMSO) in the presence of 10 μM ATP, 2.5 μCi of γ-32P-ATP and substrate. Reactions are terminated by spotting onto nitrocellulose or phosphocellulose membranes, depending on the substrate; this membrane is then washed 5-6 times to remove unbound radioactivity and dried. Transferred radioactivity is quantitated by phosphorimaging and IC50 values are calculated by fitting the data to a sigmoidal doseresponse using Prism software.
Cell Research	For western blot analysis, cells are grown in 12-well plates and treated with PP-121 at the indicated concentrations or vehicle (0.1% DMSO). Treated cells are lysed, lysates are resolved by SDS-PAGE, transferred to nitrocellulose and blotted. For cell proliferation assays,cells are grown in 96-well plates are treated with PP-121 at 4-fold dilutions (10 μM - 0.040 μM) or vehicle (0.1% DMSO). After 72 hours cells are exposed to Resazurin sodium salt (22 μM) and fluorescence is quantified. IC50 values are calculated using Prism software. For proliferation assays involving single cell counting, non-adherent cells are plated at low density (3-5% confluence) and treated with PP-121 (2.5 μM) or vehicle (0.1% DMSO). Cells are diluted into trypan blue daily and viable cells counted

Cell Research	using a hemocytometer. For apoptosis and cell cycle analysis, cells are treated with the indicated concentration of PP-121 or vehicle (0.1% DMSO) for 24-72 hours. Cells are either stained live with AnnexinV-FITC or fixed with ethanol and stained with propidium iodide. Cell populations are separated using a FacsCalibur flow cytometer; data is collected using CellQuest Pro software and analyzed with either ModFit or FlowJo Software.(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 2 mg/mL (6.26 mM),Sonication is recommended. DMSO: 60 mg/mL (187.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.26 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1313 mL	15.6563 mL	31.3126 mL
5 mM	0.6263 mL	3.1313 mL	6.2625 mL
10 mM	0.3131 mL	1.5656 mL	3.1313 mL
50 mM	0.0626 mL	0.3131 mL	0.6263 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Apsel B, et al. Nat Chem Biol, 2008, 4(11), 691-699.
Zhou D, Yang S, Yan H, et al. SC75741, a novel c-Abl inhibitor, promotes the clearance of TDP25 aggregates via ATG5-dependent autophagy pathway. Frontiers in Pharmacology. 2021: 2891.